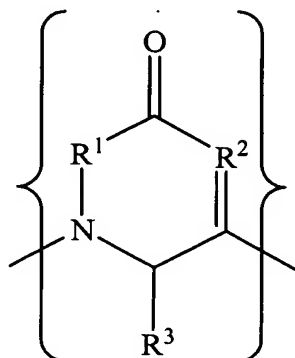


**WHAT IS CLAIMED IS:**

1                    1.        A peptide analog comprising a peptide in which at least one amino  
2 acid, but less than all amino acids, is replaced by an azacyclohexenone group having the  
3 formula



4  
5 in which:

6                    R<sup>1</sup> is CH<sub>2</sub> or NH,

7                    R<sup>2</sup> is CH or N, and

8                    R<sup>3</sup> is H or an amino acid side chain,

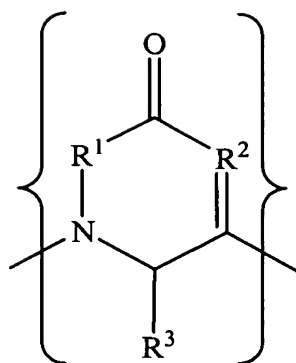
9 such that in at least one such azacyclohexenone group:

10                    when R<sup>1</sup> is CH<sub>2</sub> and R<sup>2</sup> is CH, R<sup>3</sup> is an amino acid side chain, and

11                    when either R<sup>1</sup> is NH, or R<sup>2</sup> is N, or R<sup>1</sup> is NH and R<sup>2</sup> is N, R<sup>3</sup> is H or an amino  
12 acid side chain,

13 and when said peptide analog contains two or more azacyclohexenone groups of said  
14 formula, R<sup>1</sup>, R<sup>2</sup>, and R<sup>3</sup> of any one azacyclohexenone group in said peptide analog are either  
15 the same as or different from R<sup>1</sup>, R<sup>2</sup>, and R<sup>3</sup> of any other azacyclohexenone group in said  
16 peptide analog.

1                    2.        A peptide analog comprising a peptide in which at least one amino  
2 acid, but less than all amino acids, is replaced by an azacyclohexenone group having the  
3 formula



in which:

$R^1$  is  $CH_2$  or  $NH$ ,

$R^2$  is  $CH$  or  $N$ , and

when  $R^1$  is  $CH_2$  and  $R^2$  is  $CH$ ,  $R^3$  is an amino acid side chain, and

when either  $R^1$  is  $NH$ , or  $R^2$  is  $N$ , or  $R^1$  is  $NH$  and  $R^2$  is  $N$ ,  $R^3$  is  $H$  or an amino acid side chain,

and when said peptide analog contains two or more azacyclohexenone groups of said formula,  $R^1$ ,  $R^2$ , and  $R^3$  of any one azacyclohexenone group in said peptide analog are either the same as or different from  $R^1$ ,  $R^2$ , and  $R^3$  of any other azacyclohexenone group in said peptide analog.

3. The peptide analog of claims 1 or 2 in which  $R^1$  is  $CH_2$  and  $R^2$  is  $N$ .

4. The peptide analog of claims 1 or 2 in which  $R^1$  is  $NH$  and  $R^2$  is  $CH$ .

5. The peptide analog of claims 1 or 2 in which  $R^1$  is  $NH$  and  $R^2$  is  $N$ .

6. The peptide analog of claims 1 or 2 in which  $R^1$  is  $CH_2$  and  $R^2$  is  $CH$ .

7. The peptide analog of claims 1 or 2 in which said azacyclohexenone group is an L-stereoisomer relative to  $R^3$  when  $R^3$  is an amino acid side chain.

8. The peptide analog of claims 1 or 2 in which said amino acid side chain is a side chain of a natural amino acid.

9. The peptide analog of claims 1 or 2 in which said amino acid side chain is a side chain of an unnatural amino acid.

10. The peptide analog of claims 1 or 2 in which said amino acid side chain is a member selected from the group consisting of  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkyl interrupted

by -O-, C<sub>1</sub>-C<sub>6</sub> alkyl interrupted by -S-, hydroxy-(C<sub>1</sub>-C<sub>6</sub> alkyl), carboxy-(C<sub>1</sub>-C<sub>6</sub> alkyl), amino-(C<sub>1</sub>-C<sub>6</sub> alkyl), guanidino-(C<sub>1</sub>-C<sub>6</sub> alkyl), carbamoyl-(C<sub>1</sub>-C<sub>6</sub> alkyl), mercapto-(C<sub>1</sub>-C<sub>6</sub> alkyl), indolyl-(C<sub>1</sub>-C<sub>3</sub> alkyl), phenyl-(C<sub>1</sub>-C<sub>3</sub> alkyl), hydroxyphenyl-(C<sub>1</sub>-C<sub>6</sub> alkyl), halophenyl-(C<sub>1</sub>-C<sub>6</sub> alkyl), imidazolyl-(C<sub>1</sub>-C<sub>6</sub> alkyl), phenyl, and sulfoximino-(C<sub>1</sub>-C<sub>6</sub> alkyl).

**11.** The peptide analog of claims 1 or 2 in which said amino acid side chain is a member selected from the group consisting of C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy-(C<sub>1</sub>-C<sub>2</sub> alkyl), carboxy-(C<sub>1</sub>-C<sub>2</sub> alkyl), amino-(C<sub>3</sub>-C<sub>5</sub> alkyl), guanidino-(C<sub>2</sub>-C<sub>4</sub> alkyl), carbamoyl-(C<sub>1</sub>-C<sub>2</sub> alkyl), mercapto-(C<sub>1</sub>-C<sub>2</sub> alkyl), methylthio-(C<sub>1</sub>-C<sub>3</sub> alkyl), indolylmethyl, phenyl-(C<sub>1</sub>-C<sub>2</sub> alkyl), and hydroxyphenyl-(C<sub>1</sub>-C<sub>2</sub> alkyl).

**12.** The peptide analog of claims 1 or 2 in which R<sup>1</sup> is CH<sub>2</sub>, R<sup>2</sup> is N, and said amino acid side chain is a member selected from the group consisting of C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy-(C<sub>1</sub>-C<sub>2</sub> alkyl), carboxy-(C<sub>1</sub>-C<sub>2</sub> alkyl), amino-(C<sub>3</sub>-C<sub>5</sub> alkyl), guanidino-(C<sub>2</sub>-C<sub>4</sub> alkyl), carbamoyl-(C<sub>1</sub>-C<sub>2</sub> alkyl), mercapto-(C<sub>1</sub>-C<sub>2</sub> alkyl), methylthio-(C<sub>1</sub>-C<sub>3</sub> alkyl), indolylmethyl, phenyl-(C<sub>1</sub>-C<sub>2</sub> alkyl), and hydroxyphenyl-(C<sub>1</sub>-C<sub>2</sub> alkyl).

**13.** The peptide analog of claims 1 or 2 in which the amino acids of said peptide analog are from 2 to 200 in number and said azacyclohexenone groups are from 1 to 100 in number.

**14.** The peptide analog of claims 1 or 2 in which the amino acids of said peptide analog are from 2 to 200 in number, said azacyclohexenone groups are from 1 to 100 in number, and the number ratio of said azacyclohexenone groups to amino acids is from 1:10 to 10:1.

**15.** The peptide analog of claims 1 or 2 in which the amino acids of said peptide analog are from 2 to 100 in number and said azacyclohexenone groups are from 1 to 50 in number.

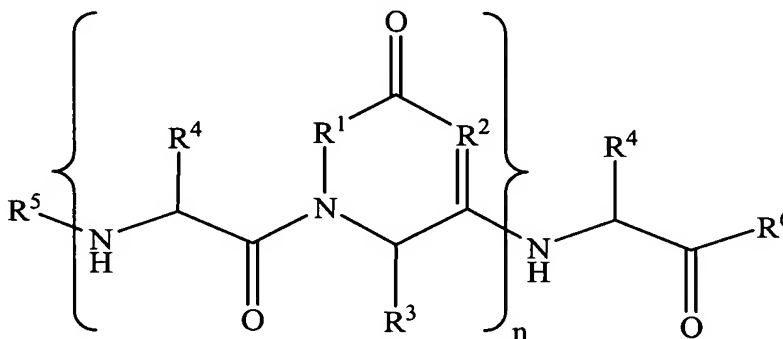
**16.** The peptide analog of claims 1 or 2 in which the amino acids of said peptide analog are from 2 to 100 in number, said azacyclohexenone groups are from 1 to 50 in number, and the number ratio of said azacyclohexenone groups to amino acids is from 1:10 to 10:1.

**17.** The peptide analog of claims 1 or 2 in which all remaining amino acids in said peptide analog are a combination of natural and unnatural amino acids.

**18.** The peptide analog of claims 1 or 2 in which all remaining amino acids in said peptide analog are natural amino acids.

19. The peptide analog of claims 1 or 2 in which R<sup>1</sup> is CH<sub>2</sub>, R<sup>2</sup> is N, and R<sup>3</sup> is a member selected from the group consisting of C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy-(C<sub>1</sub>-C<sub>2</sub> alkyl), carboxy-(C<sub>1</sub>-C<sub>2</sub> alkyl), amino-(C<sub>3</sub>-C<sub>5</sub> alkyl), guanidino-(C<sub>2</sub>-C<sub>4</sub> alkyl), carbamoyl-(C<sub>1</sub>-C<sub>2</sub> alkyl), mercapto-(C<sub>1</sub>-C<sub>2</sub> alkyl), methylthio-(C<sub>1</sub>-C<sub>3</sub> alkyl), indolylmethyl, phenyl-(C<sub>1</sub>-C<sub>2</sub> alkyl), and hydroxyphenyl-(C<sub>1</sub>-C<sub>2</sub> alkyl), and all remaining amino acids in said peptide analog are natural amino acids.

**20.** A peptide analog having the formula



in which:

R<sup>1</sup> is CH<sub>2</sub> or NH,

$R^2$  is CH or N,

$R^3$  is H or an amino acid side chain,

such that in at least one such azacyclohexenone group:

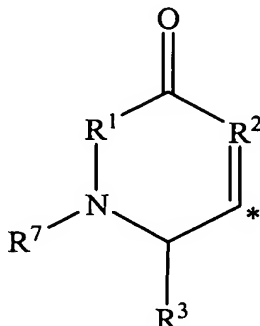
when  $R^1$  is  $CH_2$  and  $R^2$  is  $CH$ ,  $R^3$  is an amino acid side chain, and

when either R<sup>1</sup> is NH, or R<sup>2</sup> is N, or R<sup>1</sup> is NH and R<sup>2</sup> is N, R<sup>3</sup> is H or an amino acid side chain,

and when said peptide analog contains two or more azacyclohexenone groups of said formula, R<sup>1</sup>, R<sup>2</sup>, and R<sup>3</sup> of any one azacyclohexenone group in said peptide analog are either the same as or different from R<sup>1</sup>, R<sup>2</sup>, and R<sup>3</sup> of any other azacyclohexenone group in said peptide analog,

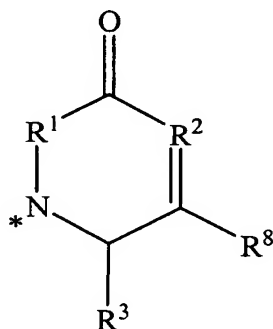
the R<sup>4</sup>'s are the same or different and each R<sup>4</sup> is either H or an amino acid side chain,

R<sup>5</sup> is a member selected from the group consisting of peptide chain terminating groups and



in which R<sup>7</sup> is a member selected from the group consisting of H, alkyl, acyl, carbamoyl, and alkoxy carbamoyl, and \* denotes the site of attachment,

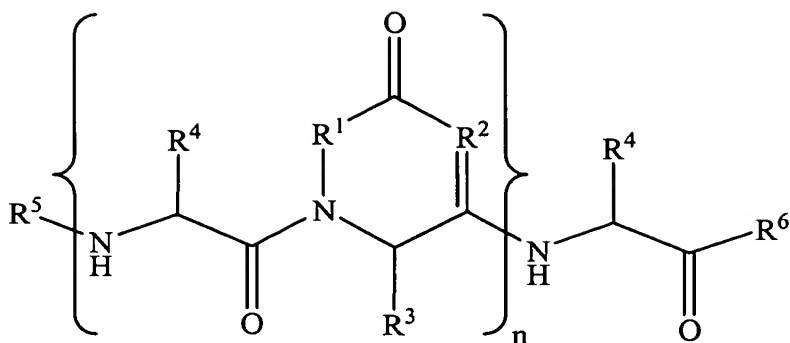
R<sup>6</sup> is a member selected from the group consisting of peptide chain terminating groups and



in which R<sup>8</sup> is a member selected from the group consisting of hydroxyl, alkoxy, alkylamino, dialkylamino, and arylamino, and \* denotes the site of attachment, and

n is at least 2.

**21.** A peptide analog having the formula



in which:

$R^1$  is  $\text{CH}_2$  or  $\text{NH}$ ,

$R^2$  is  $\text{CH}$  or  $\text{N}$ ,

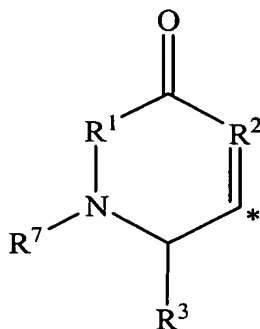
when  $R^1$  is  $\text{CH}_2$  and  $R^2$  is  $\text{CH}$ ,  $R^3$  is an amino acid side chain, and

when either  $R^1$  is  $\text{NH}$ , or  $R^2$  is  $\text{N}$ , or  $R^1$  is  $\text{NH}$  and  $R^2$  is  $\text{N}$ ,  $R^3$  is  $\text{H}$  or an amino acid side chain,

and when said peptide analog contains two or more azacyclohexenone groups of said formula,  $R^1$ ,  $R^2$ , and  $R^3$  of any one azacyclohexenone group in said peptide analog are either the same as or different from  $R^1$ ,  $R^2$ , and  $R^3$  of any other azacyclohexenone group in said peptide analog,

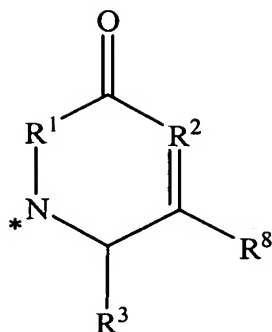
the  $R^4$ 's are the same or different and each  $R^4$  is either  $\text{H}$  or an amino acid side chain,

$R^5$  is a member selected from the group consisting of peptide chain terminating groups and



in which  $R^7$  is a member selected from the group consisting of  $\text{H}$ , alkyl, acyl, carbamoyl, and alkoxy carbamoyl, and \* denotes the site of attachment,

$R^6$  is a member selected from the group consisting of peptide chain terminating groups and



in which R<sup>8</sup> is a member selected from the group consisting of hydroxyl, alkoxy, alkylamino, dialkylamino, and arylamino, and \* denotes the site of attachment, and n is at least 2.

22. The peptide analog of claim 21 in which R<sup>1</sup> is CH<sub>2</sub> and R<sup>2</sup> is N.

23. The peptide analog of claim 21 in which R<sup>1</sup> is NH and R<sup>2</sup> is CH.

24. The peptide analog of claim 21 in which R<sup>1</sup> is NH and R<sup>2</sup> is N.

25. The peptide analog of claim 21 in which R<sup>1</sup> is CH<sub>2</sub> and R<sup>2</sup> is CH.

26. The peptide analog of claim 21 in which said peptide analog is an L-stereoisomer relative to R<sup>3</sup> when R<sup>3</sup> is an amino acid side chain

27. The peptide analog of claim 21 in which all R<sup>3</sup>'s are side chains of natural amino acids.

28. The peptide analog of claim 21 in which at least one R<sup>3</sup> is a side chain of a natural amino acid.

29. The peptide analog of claim 21 in which each R<sup>4</sup> is either H or a side chain of a natural amino acid.

30. The peptide analog of claim 21 in which at least one R<sup>4</sup> is either H or a side chain of a natural amino acid.

31. The peptide analog of claim 21 in which all R<sup>3</sup>'s and all R<sup>4</sup>'s are members selected from the group consisting of H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkyl interrupted by -O-, C<sub>1</sub>-C<sub>6</sub> alkyl interrupted by -S-, hydroxy-(C<sub>1</sub>-C<sub>6</sub> alkyl), carboxy-(C<sub>1</sub>-C<sub>6</sub> alkyl), amino-

4 (C<sub>1</sub>-C<sub>6</sub> alkyl), guanidino-(C<sub>1</sub>-C<sub>6</sub> alkyl), carbamoyl-(C<sub>1</sub>-C<sub>6</sub> alkyl), mercapto-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
5 indolyl-(C<sub>1</sub>-C<sub>3</sub> alkyl), phenyl-(C<sub>1</sub>-C<sub>3</sub> alkyl), hydroxyphenyl-(C<sub>1</sub>-C<sub>6</sub> alkyl), halophenyl-(C<sub>1</sub>-C<sub>6</sub>  
6 alkyl), imidazolyl-(C<sub>1</sub>-C<sub>6</sub> alkyl), phenyl, and sulfoximino-(C<sub>1</sub>-C<sub>6</sub> alkyl).

1                   **32.**     The peptide analog of claim **21** in which all R<sup>3</sup>'s and all R<sup>4</sup>'s are  
2 members selected from the group consisting of H, C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy -(C<sub>1</sub>-C<sub>2</sub> alkyl),  
3 carboxy-(C<sub>1</sub>-C<sub>2</sub> alkyl), amino-(C<sub>3</sub>-C<sub>5</sub> alkyl), guanidino -(C<sub>2</sub>-C<sub>4</sub> alkyl), carbamoyl-(C<sub>1</sub>-C<sub>2</sub>  
4 alkyl), mercapto-(C<sub>1</sub>-C<sub>2</sub> alkyl), methylthio-(C<sub>1</sub>-C<sub>3</sub> alkyl), indolylmethyl, phenyl-(C<sub>1</sub>-C<sub>2</sub>  
5 alkyl), and hydroxyphenyl-(C<sub>1</sub>-C<sub>2</sub> alkyl).

1                   **33.**     The peptide analog of claim **21** in which R<sup>1</sup> is CH<sub>2</sub>, R<sup>2</sup> is N, and all  
2 R<sup>3</sup>'s and all R<sup>4</sup>'s are members selected from the group consisting of H, C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy -  
3 (C<sub>1</sub>-C<sub>2</sub> alkyl), carboxy-(C<sub>1</sub>-C<sub>2</sub> alkyl), amino-(C<sub>3</sub>-C<sub>5</sub> alkyl), guanidino -(C<sub>2</sub>-C<sub>4</sub> alkyl),  
4 carbamoyl-(C<sub>1</sub>-C<sub>2</sub> alkyl), mercapto-(C<sub>1</sub>-C<sub>2</sub> alkyl), methylthio-(C<sub>1</sub>-C<sub>3</sub> alkyl), indolylmethyl,  
5 phenyl-(C<sub>1</sub>-C<sub>2</sub> alkyl), and hydroxyphenyl-(C<sub>1</sub>-C<sub>2</sub> alkyl).

1                   **34.**     The peptide analog of claim **21** in which the R<sup>4</sup>'s are a combination  
2 comprising side chains of natural and unnatural amino acids.

1                   **35.**     The peptide analog of claim **21** in which each R<sup>4</sup> is either H or a side  
2 chain of a natural amino acid.

1                   **36.**     The peptide analog of claim **21** in which all remaining amino acids in  
2 said peptide analog are a combination comprising natural and unnatural amino acids.

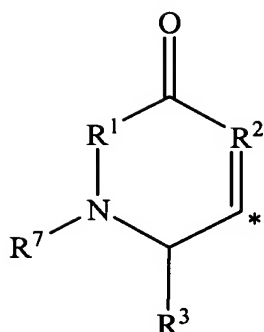
1                   **37.**     The peptide analog of claim **21** in which all remaining amino acids in  
2 said peptide analog are natural amino acids.

3                   **38.**     The peptide analog of claim **21** in which R<sup>5</sup> is a member selected from  
4 the group consisting of H, alkyl, acyl, carbamoyl, and alkoxycarbonyl.

1                   **39.**     The peptide analog of claim **21** in which R<sup>5</sup> is acetyl.

1                   **40.**     The peptide analog of claim **21** in which R<sup>5</sup> is

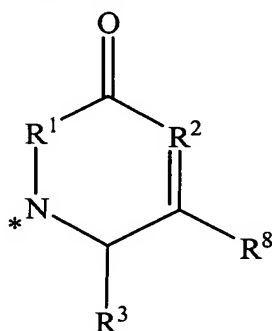




2  
1                    41.     The peptide analog of claim 21 in which R<sup>6</sup> is a member selected from  
2     the group consisting of hydroxyl, alkoxy, alkylamino, dialkylamino, and arylamino.

1                    42.     The peptide analog of claim 21 in which R<sup>6</sup> is a member selected from  
2     the group consisting of hydroxyl and methylamino.

1                    43.     The peptide analog of claim 21 in which R<sup>6</sup> is



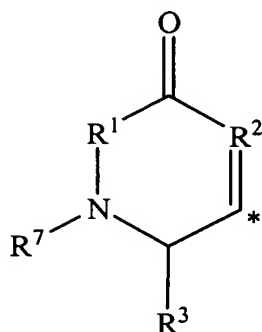
1                    44.     The peptide analog of claim 21 in which n is 2 to 100.

1                    45.     The peptide analog of claim 21 in which n is 2 to 50.

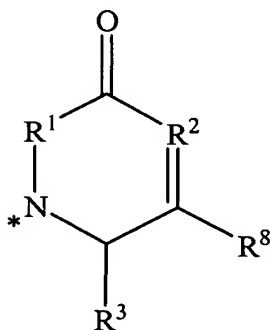
1                    46.     The peptide analog of claim 21 in which n is 2 to 5.

1                    47.     The peptide analog of claim 33 in which R<sup>5</sup> is a member selected from  
2     the group consisting of H, alkyl, acyl, carbamoyl, and alkoxy carbonyl, and R<sup>6</sup> is a member  
3     selected from the group consisting of hydroxyl, alkoxy, alkylamino, dialkylamino, and  
4     arylamino.

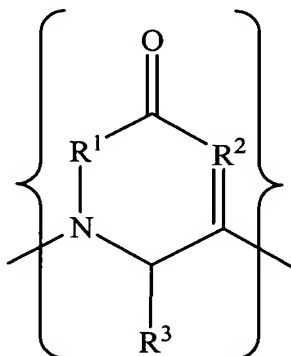
1                    48.     The peptide analog of claim 33 in which R<sup>5</sup> is



49. The peptide analog of claim 33 in which R<sup>6</sup> is



50. A peptide analog comprising a first segment consisting of a first sequence of amino acids joined by amide bonds and a second segment consisting of a second sequence of amino acids joined by amide bonds, in which at least one amino acid, but less than all amino acids, of said second segment is replaced by an azacyclohexenone group having the formula



in which:

R<sup>1</sup> is CH<sub>2</sub> or NH,

R<sup>2</sup> is CH or N, and

R<sup>3</sup> is H or an amino acid side chain,

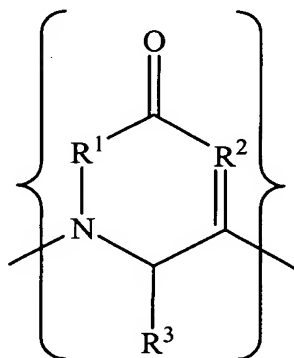
such that in at least one such azacyclohexenone group:

when  $R^1$  is  $CH_2$  and  $R^2$  is  $CH$ ,  $R^3$  is an amino acid side chain, and  
when either  $R^1$  is  $NH$ , or  $R^2$  is  $N$ , or  $R^1$  is  $NH$  and  $R^2$  is  $N$ ,  $R^3$  is  $H$  or an amino  
acid side chain,

and when said peptide analog contains two or more azacyclohexenone groups of said  
formula,  $R^1$ ,  $R^2$ , and  $R^3$  of any one azacyclohexenone group in said peptide analog are either  
the same as or different from  $R^1$ ,  $R^2$ , and  $R^3$  of any other azacyclohexenone group in said  
peptide analog,

said first and second segments joined by a covalent linkage that permits said first and second  
segments to enter into a  $\beta$ -sheet-like interaction with each other or with a third sequence of  
amino acids joined by amide bonds.

51. A peptide analog comprising a first segment consisting of a first  
sequence of amino acids joined by amide bonds and a second segment consisting of a second  
sequence of amino acids joined by amide bonds, in which at least one amino acid, but less  
than all amino acids, of said second segment is replaced by an azacyclohexenone group  
having the formula



in which:

$R^1$  is  $CH_2$  or  $NH$ ,

$R^2$  is  $CH$  or  $N$ , and

when  $R^1$  is  $CH_2$  and  $R^2$  is  $CH$ ,  $R^3$  is an amino acid side chain, and

when either  $R^1$  is  $NH$ , or  $R^2$  is  $N$ , or  $R^1$  is  $NH$  and  $R^2$  is  $N$ ,  $R^3$  is  $H$  or an amino  
acid side chain,

and when said peptide analog contains two or more azacyclohexenone groups of said  
formula,  $R^1$ ,  $R^2$ , and  $R^3$  of any one azacyclohexenone group in said peptide analog are either  
the same as or different from  $R^1$ ,  $R^2$ , and  $R^3$  of any other azacyclohexenone group in said  
peptide analog,

17 said first and second segments joined by a covalent linkage that permits said first and second  
18 segments to enter into a  $\beta$ -sheet-like interaction with each other or with a third sequence of  
19 amino acids joined by amide bonds.

1                   52.     The peptide analog of claim 50 in which  $R^1$  is  $CH_2$  and  $R^2$  is N.

1                   53.     The peptide analog of claim 50 in which  $R^1$  is NH and  $R^2$  is CH.

1                   54.     The peptide analog of claim 50 in which  $R^1$  is NH and  $R^2$  is N.

1                   55.     The peptide analog of claim 50 in which  $R^1$  is  $CH_2$  and  $R^2$  is CH.

1                   56.     The peptide analog of claim 50 in which said peptide analog is an  
2 L-stereoisomer relative to  $R^3$  when  $R^3$  is an amino acid side chain

1                   57.     The peptide analog of claim 50 in which all  $R^3$ 's are side chains of  
2 natural amino acids.

1                   58.     The peptide analog of claim 50 in which at least one  $R^3$  is a side chain  
2 of a natural amino acid.

1                   59.     The peptide analog of claim 50 in which all  $R^3$ 's are members selected  
2 from the group consisting of  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkyl interrupted by -O-,  $C_1$ - $C_6$  alkyl  
3 interrupted by -S-, hydroxy -( $C_1$ - $C_6$  alkyl), carboxy-( $C_1$ - $C_6$  alkyl), amino-( $C_1$ - $C_6$  alkyl),  
4 guanidino -( $C_1$ - $C_6$  alkyl), carbamoyl-( $C_1$ - $C_6$  alkyl), mercapto-( $C_1$ - $C_6$  alkyl), indolyl-( $C_1$ - $C_3$   
5 alkyl), phenyl-( $C_1$ - $C_3$  alkyl), hydroxyphenyl-( $C_1$ - $C_6$  alkyl), halophenyl-( $C_1$ - $C_6$  alkyl),  
6 imidazolyl-( $C_1$ - $C_6$  alkyl), phenyl, and sulfoximino-( $C_1$ - $C_6$  alkyl).

1                   60.     The peptide analog of claim 50 in which all  $R^3$ 's are members selected  
2 from the group consisting of  $C_1$ - $C_4$  alkyl, hydroxy -( $C_1$ - $C_2$  alkyl), carboxy-( $C_1$ - $C_2$  alkyl),  
3 amino-( $C_3$ - $C_5$  alkyl), guanidino -( $C_2$ - $C_4$  alkyl), carbamoyl-( $C_1$ - $C_2$  alkyl), mercapto-( $C_1$ - $C_2$   
4 alkyl), methylthio-( $C_1$ - $C_3$  alkyl), indolylmethyl, phenyl-( $C_1$ - $C_2$  alkyl), and hydroxyphenyl-  
5 ( $C_1$ - $C_2$  alkyl).

1                   61.     The peptide analog of claim 50 in which  $R^1$  is  $CH_2$ ,  $R^2$  is N, and all  
2  $R^3$ 's are members selected from the group consisting of  $C_1$ - $C_4$  alkyl, hydroxy -( $C_1$ - $C_2$  alkyl),  
3 carboxy-( $C_1$ - $C_2$  alkyl), amino-( $C_3$ - $C_5$  alkyl), guanidino -( $C_2$ - $C_4$  alkyl), carbamoyl-( $C_1$ - $C_2$

4 alkyl), mercapto-(C<sub>1</sub>-C<sub>2</sub> alkyl), methylthio-(C<sub>1</sub>-C<sub>3</sub> alkyl), indolylmethyl, phenyl-(C<sub>1</sub>-C<sub>2</sub>  
5 alkyl), and hydroxyphenyl-(C<sub>1</sub>-C<sub>2</sub> alkyl).

1                   **62.**     The peptide analog of claim **50** in which the amino acids in said first  
2 segment are a combination of natural and unnatural amino acids.

1                   **63.**     The peptide analog of claim **50** in which the amino acids in said first  
2 segment are natural amino acids.

1                   **64.**     The peptide analog of claim **50** in which the remaining amino acids in  
2 said second segment are a combination of natural and unnatural amino acids.

1                   **65.**     The peptide analog of claim **50** in which the remaining amino acids in  
2 said second segment are natural amino acids.

1                   **66.**     The peptide analog of claim **50** in which said second segment consists  
2 of an amino acid sequence in which two or more non-adjacent amino acids are replaced by  
3 azacyclohexenone groups of said formula.

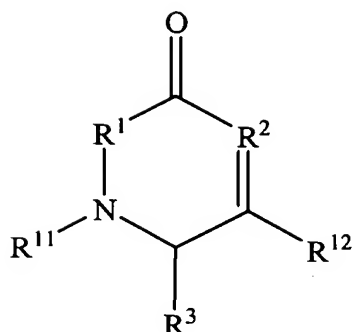
1                   **67.**     The peptide analog of claim **50** in which, in at least a portion of said  
2 second segment, every second amino acid is replaced by azacyclohexenone groups of said  
3 formula.

1                   **68.**     The peptide analog of claim **50** in which said first segment contains  
2 from 3 to 200 amino acids and in said second segment the total number of amino acids and  
3 azacyclohexenone groups is from 3 to 200.

1                   **69.**     The peptide analog of claim **50** in which said first segment contains  
2 from 3 to 20 amino acids and in said second segment the total number of amino acids and  
3 azacyclohexenone groups is from 3 to 20.

1                   **70.**     The peptide analog of claim **50** in which said covalent linkage is a  
2 member selected from the group consisting of D-Pro-Ala and Asn-Gly.

1                   **71.**     A compound having the formula



in which:

$R^1$  is  $\text{CH}_2$  or  $\text{NH}$ ,

$R^2$  is  $\text{CH}$  or  $\text{N}$ ,

when  $R^1$  is  $\text{CH}_2$  and  $R^2$  is  $\text{CH}$ ,  $R^3$  is an amino acid side chain,

when either  $R^1$  is  $\text{NH}$ , or  $R^2$  is  $\text{N}$ , or  $R^1$  is  $\text{NH}$  and  $R^2$  is  $\text{N}$ ,  $R^3$  is  $\text{H}$  or an amino acid side chain,

$R^{11}$  is a nitrogen protecting group, and

$R^{12}$  is a member selected from the group consisting of  $\text{OH}$ ,  $\text{SH}$ , and activated leaving groups.

72. The compound of claim 71 in which  $R^1$  is  $\text{CH}_2$  and  $R^2$  is  $\text{N}$ .

73. The compound of claim 71 in which  $R^1$  is  $\text{NH}$  and  $R^2$  is  $\text{CH}$ .

74. The compound of claim 71 in which  $R^1$  is  $\text{NH}$  and  $R^2$  is  $\text{N}$ .

75. The compound of claim 71 in which  $R^1$  is  $\text{CH}_2$  and  $R^2$  is  $\text{CH}$ .

76. The compound of claim 71 in which said compound is an L-stereoisomer relative to  $R^3$  when  $R^3$  is an amino acid side chain

77. The compound of claim 71 in which  $R^3$  is a side chain of a natural amino acid.

78. The compound of claim 71 in which  $R^3$  is a member selected from the group consisting of  $\text{C}_1\text{-C}_6$  alkyl,  $\text{C}_1\text{-C}_6$  alkyl interrupted by  $-\text{O}-$ ,  $\text{C}_1\text{-C}_6$  alkyl interrupted by  $-\text{S}-$ , hydroxy- $(\text{C}_1\text{-C}_6 \text{ alkyl})$ , carboxy- $(\text{C}_1\text{-C}_6 \text{ alkyl})$ , amino- $(\text{C}_1\text{-C}_6 \text{ alkyl})$ , guanidino- $(\text{C}_1\text{-C}_6 \text{ alkyl})$ , carbamoyl- $(\text{C}_1\text{-C}_6 \text{ alkyl})$ , mercapto- $(\text{C}_1\text{-C}_6 \text{ alkyl})$ ,  $(\text{C}_1\text{-C}_3 \text{ alkyl})\text{thio-}(\text{C}_1\text{-C}_3 \text{ alkyl})$ , indolyl- $(\text{C}_1\text{-C}_3 \text{ alkyl})$ , phenyl- $(\text{C}_1\text{-C}_3 \text{ alkyl})$ , hydroxyphenyl- $(\text{C}_1\text{-C}_6 \text{ alkyl})$ , halophenyl- $(\text{C}_1\text{-C}_6 \text{ alkyl})$ , imidazolyl- $(\text{C}_1\text{-C}_6 \text{ alkyl})$ , phenyl, and sulfoximino- $(\text{C}_1\text{-C}_6 \text{ alkyl})$ .

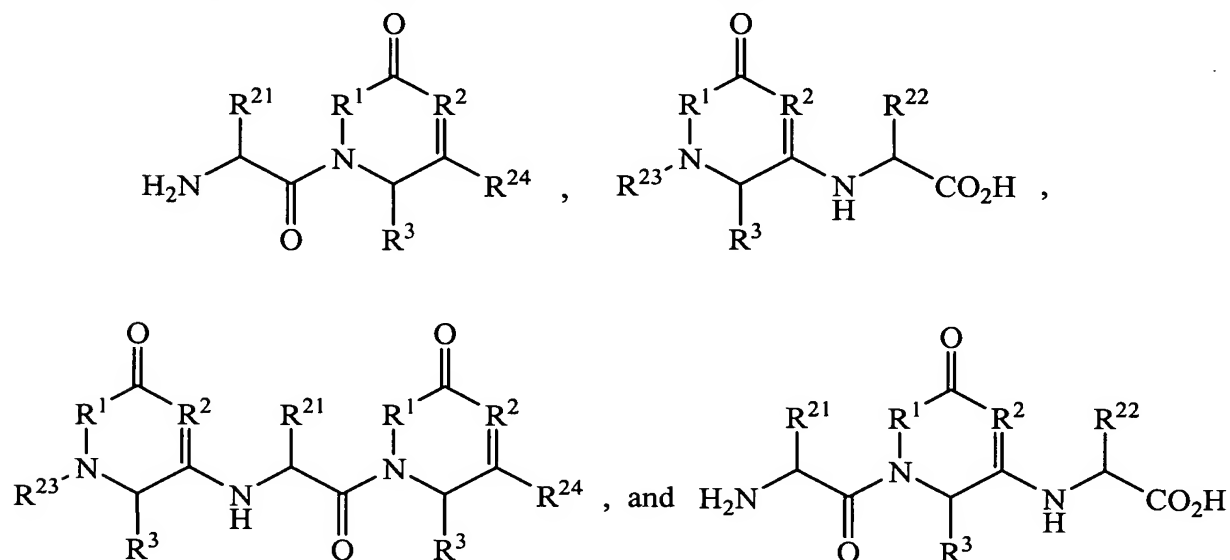
79. The compound of claim 71 in which  $R^3$  is a member selected from the group consisting of  $C_1$ - $C_4$  alkyl, hydroxy- $(C_1$ - $C_2$  alkyl), carboxy- $(C_1$ - $C_2$  alkyl), amino- $(C_3$ - $C_5$  alkyl), guanidino- $(C_2$ - $C_4$  alkyl), carbamoyl- $(C_1$ - $C_2$  alkyl), mercapto- $(C_1$ - $C_2$  alkyl), methylthio- $(C_1$ - $C_3$  alkyl), indolylmethyl, phenyl- $(C_1$ - $C_2$  alkyl), and hydroxyphenyl- $(C_1$ - $C_2$  alkyl).

80. The compound of claim 71 in which  $R^1$  is  $CH_2$ ,  $R^2$  is N, and  $R^3$  is a member selected from the group consisting of  $C_1$ - $C_4$  alkyl, hydroxy- $(C_1$ - $C_2$  alkyl), carboxy- $(C_1$ - $C_2$  alkyl), amino- $(C_3$ - $C_5$  alkyl), guanidino- $(C_2$ - $C_4$  alkyl), carbamoyl- $(C_1$ - $C_2$  alkyl), mercapto- $(C_1$ - $C_2$  alkyl), methylthio- $(C_1$ - $C_3$  alkyl), indolylmethyl, phenyl- $(C_1$ - $C_2$  alkyl), and hydroxyphenyl- $(C_1$ - $C_2$  alkyl).

81. The compound of claim 80 in which  $R^{12}$  is OH.

82. The compound of claim 80 in which  $R^{12}$  is an activated leaving group.

83. A compound having a formula selected from the group consisting of



in which:

$R^1$  is  $CH_2$  or NH,

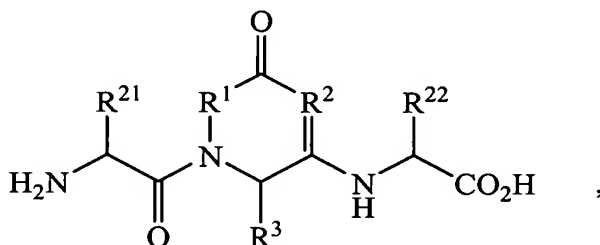
$R^2$  is CH or N,

when  $R^1$  is  $CH_2$  and  $R^2$  is CH,  $R^3$  is an amino acid side chain,

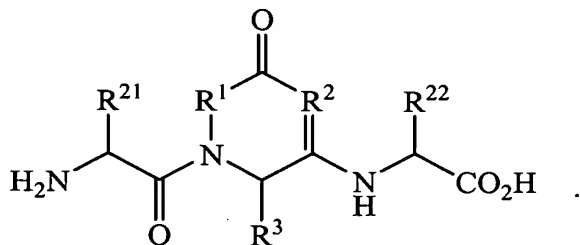
when either  $R^1$  is NH, or  $R^2$  is N, or  $R^1$  is NH and  $R^2$  is N,  $R^3$  is H or an amino acid side chain, and

when  $R^1$ ,  $R^2$ , and  $R^3$  occur twice in said formula, each  $R^1$  is either the same or different, each  $R^2$  is either the same or different, and each  $R^3$  is either the same or different,  
 $R^{21}$  is H or an amino acid side chain;  
 $R^{22}$  is H or an amino acid side chain;  
 $R^{23}$  is a member selected from the group consisting of H and amine protecting groups; and  
 $R^{24}$  is a member selected from the group consisting of an activated leaving group,  $OR^{25}$  where  $R^{25}$  is H or an oxygen-protecting group,  $SR^{26}$  where  $R^{26}$  is H or an alkyl or aryl group, and  $N(R^{27})_2$ , where the  $R^{27}$ 's are members independently selected from the group consisting of H, alkyl, and aryl;

and amine-protected analogs of those of said group that terminate in  $H_2N-$ , carboxy-protected analogs of those of said group that terminate in  $-CO_2H$ , carboxy-activated analogs of those of said group that terminate in  $-CO_2H$ , amine-protected and carboxy-protected analogs of



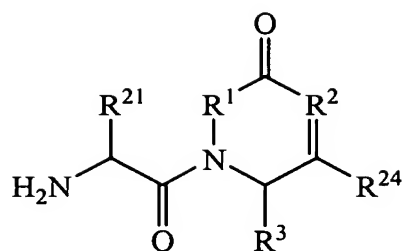
and amine-protected and carboxy-activated analogs of



84. The compound of claim 83 in which  $R^1$  is  $CH_2$  and  $R^2$  is N.
85. The compound of claim 83 in which  $R^1$  is NH and  $R^2$  is CH.
86. The compound of claim 83 in which  $R^1$  is NH and  $R^2$  is N.
87. The compound of claim 83 in which  $R^1$  is  $CH_2$  and  $R^2$  is CH.

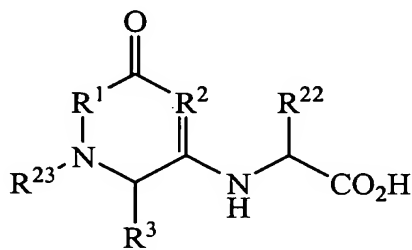


- 1                   **88.**     The compound of claim **83** in which said compound is an  
2 L-stereoisomer relative to  $R^3$  when  $R^3$  is an amino acid side chain.
- 1                   **89.**     The compound of claim **83** in which  $R^3$  is a side chain of a natural  
2 amino acid of a natural amino acid.
- 1                   **90.**     The compound of claim **83** in which  $R^3$  is a side chain of an unnatural  
2 amino acid of a natural amino acid.
- 1                   **91.**     The compound of claim **83** in which  $R^3$  is a side chain of a natural  
2 amino acid and  $R^{21}$  and  $R^{22}$  are independently H or side chains of natural amino acids.
- 1                   **92.**     The compound of claim **83** in which at least one of  $R^3$ ,  $R^{21}$ , and  $R^{22}$  is a  
2 side chain of a natural amino acid.
- 1                   **93.**     The compound of claim **83** in which  $R^3$ ,  $R^{21}$ , and  $R^{22}$  are members  
2 selected from the group consisting of H,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkyl interrupted by -O-,  $C_1$ - $C_6$   
3 alkyl interrupted by -S-, hydroxy-( $C_1$ - $C_6$  alkyl), carboxy-( $C_1$ - $C_6$  alkyl), amino-( $C_1$ - $C_6$  alkyl),  
4 guanidino-( $C_1$ - $C_6$  alkyl), carbamoyl-( $C_1$ - $C_6$  alkyl), mercapto-( $C_1$ - $C_6$  alkyl), indolyl-( $C_1$ - $C_3$   
5 alkyl), phenyl-( $C_1$ - $C_3$  alkyl), hydroxyphenyl-( $C_1$ - $C_6$  alkyl), halophenyl-( $C_1$ - $C_6$  alkyl),  
6 imidazolyl-( $C_1$ - $C_6$  alkyl), phenyl, and sulfoximino-( $C_1$ - $C_6$  alkyl).
- 1                   **94.**     The compound of claim **83** in which  $R^3$ ,  $R^{21}$ , and  $R^{22}$  are members  
2 selected from the group consisting of H,  $C_1$ - $C_4$  alkyl, hydroxy-( $C_1$ - $C_2$  alkyl), carboxy-( $C_1$ - $C_2$   
3 alkyl), amino-( $C_3$ - $C_5$  alkyl), guanidino-( $C_2$ - $C_4$  alkyl), carbamoyl-( $C_1$ - $C_2$  alkyl), mercapto-  
4 ( $C_1$ - $C_2$  alkyl), methylthio-( $C_1$ - $C_3$  alkyl), indolylmethyl, phenyl-( $C_1$ - $C_2$  alkyl), and  
5 hydroxyphenyl-( $C_1$ - $C_2$  alkyl).
- 1                   **95.**     The compound of claim **83** in which  $R^1$  is  $CH_2$ ,  $R^2$  is N, and  $R^3$ ,  $R^{21}$ ,  
2 and  $R^{22}$  are members selected from the group consisting of H,  $C_1$ - $C_4$  alkyl, hydroxy-( $C_1$ - $C_2$   
3 alkyl), carboxy-( $C_1$ - $C_2$  alkyl), amino-( $C_3$ - $C_5$  alkyl), guanidino-( $C_2$ - $C_4$  alkyl), carbamoyl-  
4 ( $C_1$ - $C_2$  alkyl), mercapto-( $C_1$ - $C_2$  alkyl), methylthio-( $C_1$ - $C_3$  alkyl), indolylmethyl, phenyl-  
5 ( $C_1$ - $C_2$  alkyl), and hydroxyphenyl-( $C_1$ - $C_2$  alkyl).
- 1                   **96.**     The compound of claim **83** which is a member selected from the group  
2 consisting of compounds of the formula



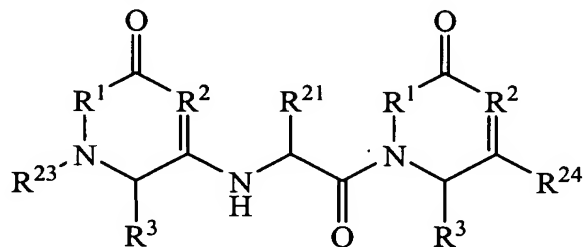
in which  $R^{24}$  is a member selected from the group consisting of an activated leaving group,  $OR^{25}$  where  $R^{25}$  is H or an oxygen-protecting group,  $SR^{26}$  where  $R^{26}$  is H or an alkyl or aryl group, or  $NR^{27}_2$  where the  $R^{27}$ 's are members independently selected from the group consisting of H, alkyl, or aryl; and amine-protected analogs of said compounds.

97. The compound of claim 83 which is a member selected from the group consisting of compounds of the formula



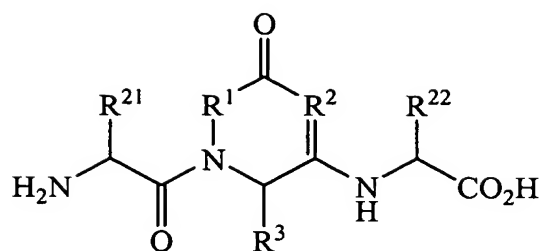
in which  $R^{23}$  is an amine protecting group, and carboxy-protected analogs of said compounds.

98. The compound of claim 83 which is a member selected from the group consisting of compounds of the formula



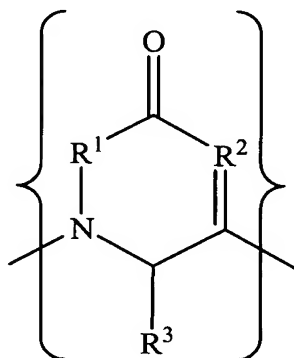
in which  $R^{23}$  is an amine protecting group and  $R^{24}$  is a member selected from the group consisting of an activated leaving group,  $OR^{25}$  where  $R^{25}$  is H or an oxygen-protecting group,  $SR^{26}$  where  $R^{26}$  is H or an alkyl or aryl group, or  $NR^{27}_2$  where each  $R^{27}$  is a member independently selected from the group consisting of H, alkyl, or aryl; and amine-protected analogs of said compounds.

99. The compound of claim 83 which is a member selected from the group consisting of compounds of the formula



amine-protected analogs of said compounds, carboxy-protected analogs of said compounds, amine-protected and carboxy-protected analogs of said compounds, and amine-protected and carboxy-activated analogs of said compounds.

**100.** A method for inhibiting the association of a selected peptide with other peptides, said method comprising contacting said selected peptide with a peptide analog defined as a peptide in which at least one amino acid, but less than all amino acids is replaced by an azacyclohexenone group having the formula



in which:

$R^1$  is  $CH_2$  or  $NH$ ,

$R^2$  is  $CH$  or  $N$ , and

$R^3$  is  $H$  or an amino acid side chain,

such that in at least one such azacyclohexenone group:

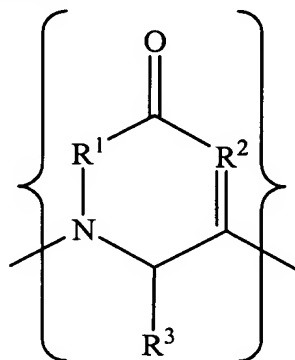
when  $R^1$  is  $CH_2$  and  $R^2$  is  $CH$ ,  $R^3$  is an amino acid side chain, and

when either  $R^1$  is  $NH$ , or  $R^2$  is  $N$ , or  $R^1$  is  $NH$  and  $R^2$  is  $N$ ,  $R^3$  is  $H$  or an amino acid side chain,

and when said peptide analog contains two or more azacyclohexenone groups of said formula,  $R^1$ ,  $R^2$ , and  $R^3$  of any one azacyclohexenone group in said peptide analog are either the same as or different from  $R^1$ ,  $R^2$ , and  $R^3$  of any other azacyclohexenone group in said peptide analog,

to achieve a  $\beta$ -sheet like interaction between said selected peptide and said peptide analog.

1                    **101.**    A method for inhibiting the association of a selected peptide with other  
 2 peptides, said method comprising contacting said selected peptide with a peptide analog  
 3 defined as a peptide in which at least one amino acid, but less than all amino acids is replaced  
 4 by an azacyclohexenone group having the formula



5  
 6 in which:

7                     $R^1$  is  $\text{CH}_2$  or  $\text{NH}$ ,

8                     $R^2$  is  $\text{CH}$  or  $\text{N}$ , and

9                    when  $R^1$  is  $\text{CH}_2$  and  $R^2$  is  $\text{CH}$ ,  $R^3$  is an amino acid side chain, and

10                    when either  $R^1$  is  $\text{NH}$ , or  $R^2$  is  $\text{N}$ , or  $R^1$  is  $\text{NH}$  and  $R^2$  is  $\text{N}$ ,  $R^3$  is  $\text{H}$  or an amino  
 11                    acid side chain,

12 and when said peptide analog contains two or more azacyclohexenone groups of said  
 13 formula,  $R^1$ ,  $R^2$ , and  $R^3$  of any one azacyclohexenone group in said peptide analog are either  
 14 the same as or different from  $R^1$ ,  $R^2$ , and  $R^3$  of any other azacyclohexenone group in said  
 15 peptide analog,  
 16 to achieve a  $\beta$ -sheet like interaction between said selected peptide and said peptide analog.

1                    **102.**    The method of claim 101 in which  $R^1$  is  $\text{CH}_2$  and  $R^2$  is  $\text{N}$ .

1                    **103.**    The method of claim 101 in which  $R^1$  is  $\text{NH}$  and  $R^2$  is  $\text{CH}$ .

1                    **104.**    The method of claim 101 in which  $R^1$  is  $\text{NH}$  and  $R^2$  is  $\text{N}$ .

1                    **105.**    The method of claim 101 in which  $R^1$  is  $\text{CH}_2$  and  $R^2$  is  $\text{CH}$ .

1                    **106.**    The method of claim 101 in which said azacyclohexenone group is an  
 2 L-stereoisomer relative to  $R^3$  when  $R^3$  is an amino acid side chain.

1           **107.** The method of claim **101** in which  $R^3$  is a member selected from the  
2 group consisting of  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkyl interrupted by -O-,  $C_1$ - $C_6$  alkyl interrupted by  
3 -S-, hydroxy-( $C_1$ - $C_6$  alkyl), carboxy-( $C_1$ - $C_6$  alkyl), amino-( $C_1$ - $C_6$  alkyl), guanidino-( $C_1$ - $C_6$   
4 alkyl), carbamoyl-( $C_1$ - $C_6$  alkyl), mercapto-( $C_1$ - $C_6$  alkyl), indolyl-( $C_1$ - $C_3$  alkyl), phenyl-( $C_1$ - $C_3$   
5 alkyl), hydroxyphenyl-( $C_1$ - $C_6$  alkyl), halophenyl-( $C_1$ - $C_6$  alkyl), imidazolyl-( $C_1$ - $C_6$  alkyl),  
6 phenyl, and sulfoximino-( $C_1$ - $C_6$  alkyl).

1           **108.** The method of claim **101** in which  $R^3$  is a member selected from the  
2 group consisting of  $C_1$ - $C_4$  alkyl, hydroxy-( $C_1$ - $C_2$  alkyl), carboxy-( $C_1$ - $C_2$  alkyl), amino-( $C_3$ - $C_5$   
3 alkyl), guanidino-( $C_2$ - $C_4$  alkyl), carbamoyl-( $C_1$ - $C_2$  alkyl), mercapto-( $C_1$ - $C_2$  alkyl),  
4 methylthio-( $C_1$ - $C_3$  alkyl), indolylmethyl, phenyl-( $C_1$ - $C_2$  alkyl), and hydroxyphenyl-( $C_1$ - $C_2$   
5 alkyl).

1           **109.** The method of claim **101** in which  $R^1$  is  $CH_2$ ,  $R^2$  is N, and  $R^3$  is a  
2 member selected from the group consisting of  $C_1$ - $C_4$  alkyl, hydroxy-( $C_1$ - $C_2$  alkyl), carboxy-  
3 ( $C_1$ - $C_2$  alkyl), amino-( $C_3$ - $C_5$  alkyl), guanidino-( $C_2$ - $C_4$  alkyl), carbamoyl-( $C_1$ - $C_2$  alkyl),  
4 mercapto-( $C_1$ - $C_2$  alkyl), methylthio-( $C_1$ - $C_3$  alkyl), indolylmethyl, phenyl-( $C_1$ - $C_2$  alkyl), and  
5 hydroxyphenyl-( $C_1$ - $C_2$  alkyl).

1           **110.** The method of claim **101** in which said peptide analog is a peptide in  
2 which two or more non-adjacent amino acids are replaced by azacyclohexenone groups of  
3 said formula.

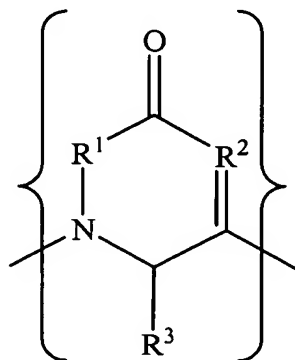
1           **111.** The method of claim **101** in which said peptide analog is a peptide in  
2 which, in at least a portion thereof, every second amino acid is replaced by an  
3 azacyclohexenone group of said formula, and the number of said azacyclohexenone groups in  
4 said peptide analog is two or more.

1           **112.** The method of claim **101** in which the total number of amino acids and  
2 azacyclohexenone groups in said peptide analog is from 3 to 200.

1           **113.** The method of claim **101** in which the total number of amino acids and  
2 azacyclohexenone groups in said peptide analog is from 4 to 20.

1           **114.** A method for inhibiting the association of a peptide with a double  
2 stranded nucleic acid, said method comprising contacting said peptide with a peptide analog

3 defined as a peptide in which at least one amino acid, but less than all amino acids, is  
4 replaced by an azacyclohexenone group having the formula



5  
6 in which:

7  $R^1$  is  $\text{CH}_2$  or  $\text{NH}$ ,

8  $R^2$  is  $\text{CH}$  or  $\text{N}$ , and

9  $R^3$  is  $\text{H}$  or an amino acid side chain,

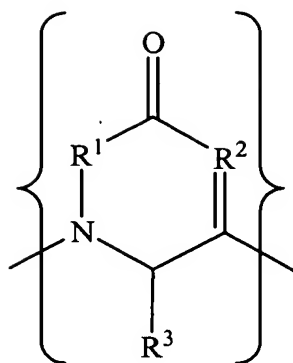
10 such that in at least one such azacyclohexenone group:

11 when  $R^1$  is  $\text{CH}_2$  and  $R^2$  is  $\text{CH}$ ,  $R^3$  is an amino acid side chain, and

12 when either  $R^1$  is  $\text{NH}$ , or  $R^2$  is  $\text{N}$ , or  $R^1$  is  $\text{NH}$  and  $R^2$  is  $\text{N}$ ,  $R^3$  is  $\text{H}$  or an amino  
13 acid side chain,

14 and when said peptide analog contains two or more azacyclohexenone groups of said  
15 formula,  $R^1$ ,  $R^2$ , and  $R^3$  of any one azacyclohexenone group in said peptide analog are either  
16 the same as or different from  $R^1$ ,  $R^2$ , and  $R^3$  of any other azacyclohexenone group in said  
17 peptide analog,  
18 to achieve a  $\beta$ -sheet-like interaction between said peptide and said peptide analog.

1 **115.** A method for inhibiting the association of a peptide with a double  
2 stranded nucleic acid, said method comprising contacting said peptide with a peptide analog  
3 defined as a peptide in which at least one amino acid, but less than all amino acids, is  
4 replaced by an azacyclohexenone group having the formula



in which:

$R^1$  is  $CH_2$  or  $NH$ ,

$R^2$  is  $CH$  or  $N$ , and

when  $R^1$  is  $CH_2$  and  $R^2$  is  $CH$ ,  $R^3$  is an amino acid side chain, and

when either  $R^1$  is  $NH$ , or  $R^2$  is  $N$ , or  $R^1$  is  $NH$  and  $R^2$  is  $N$ ,  $R^3$  is  $H$  or an amino acid side chain,

and when said peptide analog contains two or more azacyclohexenone groups of said formula,  $R^1$ ,  $R^2$ , and  $R^3$  of any one azacyclohexenone group in said peptide analog are either the same as or different from  $R^1$ ,  $R^2$ , and  $R^3$  of any other azacyclohexenone group in said peptide analog,

to achieve a  $\beta$ -sheet-like interaction between said peptide and said peptide analog.

**116.** The method of claim 115 in which  $R^1$  is  $CH_2$  and  $R^2$  is  $N$ .

**117.** The method of claim 115 in which  $R^1$  is  $NH$  and  $R^2$  is  $CH$ .

**118.** The method of claim 115 in which  $R^1$  is  $NH$  and  $R^2$  is  $N$ .

**119.** The method of claim 115 in which  $R^1$  is  $CH_2$  and  $R^2$  is  $CH$ .

**120.** The method of claim 115 in which said azacyclohexenone group is an L-stereoisomer relative to  $R^3$  when  $R^3$  is an amino acid side chain.

**121.** The method of claim 115 in which  $R^3$  is a member selected from the group consisting of  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkyl interrupted by  $-O-$ ,  $C_1$ - $C_6$  alkyl interrupted by  $-S-$ , hydroxy- $(C_1$ - $C_6$  alkyl), carboxy- $(C_1$ - $C_6$  alkyl), amino- $(C_1$ - $C_6$  alkyl), guanidino- $(C_1$ - $C_6$  alkyl), carbamoyl- $(C_1$ - $C_6$  alkyl), mercapto- $(C_1$ - $C_6$  alkyl), indolyl- $(C_1$ - $C_3$  alkyl), phenyl- $(C_1$ - $C_3$  alkyl), hydroxyphenyl- $(C_1$ - $C_6$  alkyl), halophenyl- $(C_1$ - $C_6$  alkyl), imidazolyl- $(C_1$ - $C_6$  alkyl), phenyl, and sulfoximino- $(C_1$ - $C_6$  alkyl).

1           **122.** The method of claim 115 in which R<sup>3</sup> is a member selected from the  
2 group consisting of C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy -(C<sub>1</sub>-C<sub>2</sub> alkyl), carboxy-(C<sub>1</sub>-C<sub>2</sub> alkyl), amino-(C<sub>3</sub>-C<sub>5</sub>  
3 alkyl), guanidino -(C<sub>2</sub>-C<sub>4</sub> alkyl), carbamoyl-(C<sub>1</sub>-C<sub>2</sub> alkyl), mercapto-(C<sub>1</sub>-C<sub>2</sub> alkyl),  
4 methylthio-(C<sub>1</sub>-C<sub>3</sub> alkyl), indolylmethyl, phenyl-(C<sub>1</sub>-C<sub>2</sub> alkyl), and hydroxyphenyl-(C<sub>1</sub>-C<sub>2</sub>  
5 alkyl).

1           **123.** The method of claim 115 in which R<sup>1</sup> is CH<sub>2</sub>, R<sup>2</sup> is N, and R<sup>3</sup> is a  
2 member selected from the group consisting of C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy -(C<sub>1</sub>-C<sub>2</sub> alkyl), carboxy-  
3 (C<sub>1</sub>-C<sub>2</sub> alkyl), amino-(C<sub>3</sub>-C<sub>5</sub> alkyl), guanidino -(C<sub>2</sub>-C<sub>4</sub> alkyl), carbamoyl-(C<sub>1</sub>-C<sub>2</sub> alkyl),  
4 mercapto-(C<sub>1</sub>-C<sub>2</sub> alkyl), methylthio-(C<sub>1</sub>-C<sub>3</sub> alkyl), indolylmethyl, phenyl-(C<sub>1</sub>-C<sub>2</sub> alkyl), and  
5 hydroxyphenyl-(C<sub>1</sub>-C<sub>2</sub> alkyl).

1           **124.** The method of claim 115 in which said peptide analog is a peptide in  
2 which two or more non-adjacent amino acids are replaced by azacyclohexenone groups of  
3 said formula.

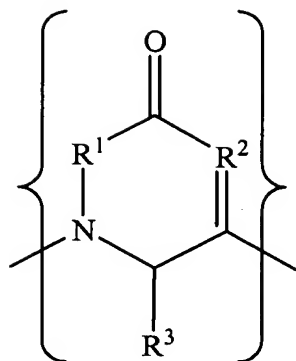
1           **125.** The method of claim 115 in which said peptide analog is a peptide in  
2 which, in at least a portion thereof, every second amino acid is replaced by an  
3 azacyclohexenone group of said formula, and the number of said azacyclohexenone groups in  
4 said peptide analog is two or more.

1           **126.** The method of claim 115 in which the total number of amino acids and  
2 azacyclohexenone groups in said peptide analog is from 3 to 200.

1           **127.** The method of claim 115 in which the total number of amino acids and  
2 azacyclohexenone groups in said peptide analog is from 4 to 20.

1           **128.** A method for inhibiting the biological activity of a peptide, said  
2 method comprising contacting said peptide with a peptide analog defined as a peptide in  
3 which at least one amino acid, but less than all amino acids, is replaced by an  
4 azacyclohexenone group having the formula





in which:

$R^1$  is  $\text{CH}_2$  or  $\text{NH}$ ,

$R^2$  is  $\text{CH}$  or  $\text{N}$ , and

$R^3$  is  $\text{H}$  or an amino acid side chain,

such that in at least one such azacyclohexenone group:

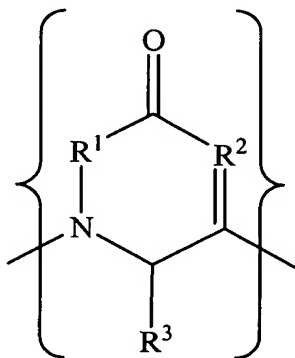
when  $R^1$  is  $\text{CH}_2$  and  $R^2$  is  $\text{CH}$ ,  $R^3$  is an amino acid side chain, and

when either  $R^1$  is  $\text{NH}$ , or  $R^2$  is  $\text{N}$ , or  $R^1$  is  $\text{NH}$  and  $R^2$  is  $\text{N}$ ,  $R^3$  is  $\text{H}$  or an amino acid side chain,

and when said peptide analog contains two or more azacyclohexenone groups of said formula,  $R^1$ ,  $R^2$ , and  $R^3$  of any one azacyclohexenone group in said peptide analog are either the same as or different from  $R^1$ ,  $R^2$ , and  $R^3$  of any other azacyclohexenone group in said peptide analog,

to achieve a  $\beta$ -sheet-like interaction between said peptide and said peptide analog.

**129.** A method for inhibiting the biological activity of a peptide, said method comprising contacting said peptide with a peptide analog defined as a peptide in which at least one amino acid, but less than all amino acids, is replaced by an azacyclohexenone group having the formula



in which:

7 R<sup>1</sup> is CH<sub>2</sub> or NH,  
8 R<sup>2</sup> is CH or N, and  
9 when R<sup>1</sup> is CH<sub>2</sub> and R<sup>2</sup> is CH, R<sup>3</sup> is an amino acid side chain, and  
10 when either R<sup>1</sup> is NH, or R<sup>2</sup> is N, or R<sup>1</sup> is NH and R<sup>2</sup> is N, R<sup>3</sup> is H or an amino  
11 acid side chain,  
12 and when said peptide analog contains two or more azacyclohexenone groups of said  
13 formula, R<sup>1</sup>, R<sup>2</sup>, and R<sup>3</sup> of any one azacyclohexenone group in said peptide analog are either  
14 the same as or different from R<sup>1</sup>, R<sup>2</sup>, and R<sup>3</sup> of any other azacyclohexenone group in said  
15 peptide analog,  
16 to achieve a  $\beta$ -sheet-like interaction between said peptide and said peptide analog.

1 130. The method of claim 129 in which R<sup>1</sup> is CH<sub>2</sub> and R<sup>2</sup> is N.

1 131. The method of claim 129 in which R<sup>1</sup> is NH and R<sup>2</sup> is CH.

1 132. The method of claim 129 in which R<sup>1</sup> is NH and R<sup>2</sup> is N.

1 133. The method of claim 129 in which R<sup>1</sup> is CH<sub>2</sub> and R<sup>2</sup> is CH.

1 134. The method of claim 129 in which said azacyclohexenone group is an  
2 L-stereoisomer relative to R<sup>3</sup> when R<sup>3</sup> is an amino acid side chain.

1 135. The method of claim 129 in which R<sup>3</sup> is a member selected from the  
2 group consisting of C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkyl interrupted by -O-, C<sub>1</sub>-C<sub>6</sub> alkyl interrupted by  
3 -S-, hydroxy -(C<sub>1</sub>-C<sub>6</sub> alkyl), carboxy-(C<sub>1</sub>-C<sub>6</sub> alkyl), amino-(C<sub>1</sub>-C<sub>6</sub> alkyl), guanidino -(C<sub>1</sub>-C<sub>6</sub>  
4 alkyl), carbamoyl-(C<sub>1</sub>-C<sub>6</sub> alkyl), mercapto-(C<sub>1</sub>-C<sub>6</sub> alkyl), indolyl-(C<sub>1</sub>-C<sub>3</sub> alkyl), phenyl-(C<sub>1</sub>-C<sub>3</sub>  
5 alkyl), hydroxyphenyl-(C<sub>1</sub>-C<sub>6</sub> alkyl), halophenyl-(C<sub>1</sub>-C<sub>6</sub> alkyl), imidazolyl-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
6 phenyl, and sulfoximino-(C<sub>1</sub>-C<sub>6</sub> alkyl).

1 136. The method of claim 129 in which R<sup>3</sup> is a member selected from the  
2 group consisting of C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy -(C<sub>1</sub>-C<sub>2</sub> alkyl), carboxy-(C<sub>1</sub>-C<sub>2</sub> alkyl), amino-(C<sub>3</sub>-C<sub>5</sub>  
3 alkyl), guanidino -(C<sub>2</sub>-C<sub>4</sub> alkyl), carbamoyl-(C<sub>1</sub>-C<sub>2</sub> alkyl), mercapto-(C<sub>1</sub>-C<sub>2</sub> alkyl),  
4 methylthio-(C<sub>1</sub>-C<sub>3</sub> alkyl), indolylmethyl, phenyl-(C<sub>1</sub>-C<sub>2</sub> alkyl), and hydroxyphenyl-(C<sub>1</sub>-C<sub>2</sub>  
5 alkyl).

1 137. The method of claim 129 in which R<sup>1</sup> is CH<sub>2</sub>, R<sup>2</sup> is N, and R<sup>3</sup> is a  
2 member selected from the group consisting of C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy -(C<sub>1</sub>-C<sub>2</sub> alkyl), carboxy-

(C<sub>1</sub>-C<sub>2</sub> alkyl), amino-(C<sub>3</sub>-C<sub>5</sub> alkyl), guanidino -(C<sub>2</sub>-C<sub>4</sub> alkyl), carbamoyl-(C<sub>1</sub>-C<sub>2</sub> alkyl), mercapto-(C<sub>1</sub>-C<sub>2</sub> alkyl), methylthio-(C<sub>1</sub>-C<sub>3</sub> alkyl), indolylmethyl, phenyl-(C<sub>1</sub>-C<sub>2</sub> alkyl), and hydroxyphenyl-(C<sub>1</sub>-C<sub>2</sub> alkyl).

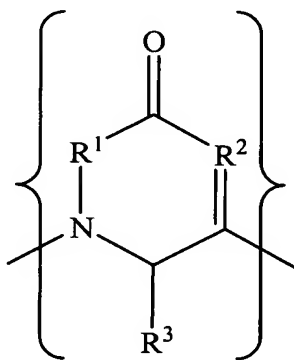
**138.** The method of claim 129 in which said peptide analog is a peptide in which two or more non-adjacent amino acids are replaced by azacyclohexenone groups of said formula.

**139.** The method of claim 129 in which said peptide analog is a peptide in which, in at least a portion thereof, every second amino acid is replaced by an azacyclohexenone group of said formula, and the number of said azacyclohexenone groups in said peptide analog is two or more.

**140.** The method of claim 129 in which the total number of amino acids and azacyclohexenone groups in said peptide analog is from 3 to 200.

**141.** The method of claim 129 in which the total number of amino acids and azacyclohexenone groups in said peptide analog is from 4 to 20.

**142.** A method for increasing the tendency of a target peptide or a portion of a target peptide to assume a  $\beta$ -strand conformation, said method comprising contacting said target peptide with a peptide analog defined as a peptide in which at least one amino acid, but less than all amino acids, is replaced by an azacyclohexenone group having the formula



in which:

R<sup>1</sup> is CH<sub>2</sub> or NH,

R<sup>2</sup> is CH or N, and

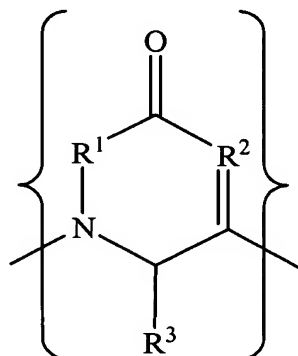
R<sup>3</sup> is H or an amino acid side chain,

such that in at least one such azacyclohexenone group:

when  $R^1$  is  $CH_2$  and  $R^2$  is  $CH$ ,  $R^3$  is an amino acid side chain, and  
when either  $R^1$  is  $NH$ , or  $R^2$  is  $N$ , or  $R^1$  is  $NH$  and  $R^2$  is  $N$ ,  $R^3$  is  $H$  or an amino  
acid side chain,

and when said peptide analog contains two or more azacyclohexenone groups of said  
formula,  $R^1$ ,  $R^2$ , and  $R^3$  of any one azacyclohexenone group in said peptide analog are either  
the same as or different from  $R^1$ ,  $R^2$ , and  $R^3$  of any other azacyclohexenone group in said  
peptide analog,  
to achieve a  $\beta$ -sheet-like interaction between said peptide and said peptide analog.

**143.** A method for increasing the tendency of a target peptide or a portion of  
a target peptide to assume a  $\beta$ -strand conformation, said method comprising contacting said  
target peptide with a peptide analog defined as a peptide in which at least one amino acid, but  
less than all amino acids, is replaced by an azacyclohexenone group having the formula



in which:

$R^1$  is  $CH_2$  or  $NH$ ,

$R^2$  is  $CH$  or  $N$ , and

when  $R^1$  is  $CH_2$  and  $R^2$  is  $CH$ ,  $R^3$  is an amino acid side chain, and

when either  $R^1$  is  $NH$ , or  $R^2$  is  $N$ , or  $R^1$  is  $NH$  and  $R^2$  is  $N$ ,  $R^3$  is  $H$  or an amino  
acid side chain,

and when said peptide analog contains two or more azacyclohexenone groups of said  
formula,  $R^1$ ,  $R^2$ , and  $R^3$  of any one azacyclohexenone group in said peptide analog are either  
the same as or different from  $R^1$ ,  $R^2$ , and  $R^3$  of any other azacyclohexenone group in said  
peptide analog,  
to achieve a  $\beta$ -sheet-like interaction between said peptide and said peptide analog.

**144.** The method of claim 143 in which  $R^1$  is  $CH_2$  and  $R^2$  is  $N$ .

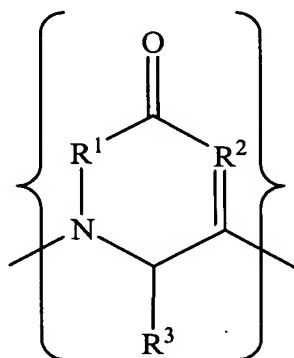
**145.** The method of claim 143 in which  $R^1$  is  $NH$  and  $R^2$  is  $CH$ .

- 1                   **146.**    The method of claim **143** in which  $R^1$  is NH and  $R^2$  is N.
- 1                   **147.**    The method of claim **143** in which  $R^1$  is  $CH_2$  and  $R^2$  is CH.
- 1                   **148.**    The method of claim **143** in which said azacyclohexenone group is an  
2 L-stereoisomer relative to  $R^3$  when  $R^3$  is an amino acid side chain.
- 1                   **149.**    The method of claim **143** in which  $R^3$  is a member selected from the  
2 group consisting of  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkyl interrupted by -O-,  $C_1$ - $C_6$  alkyl interrupted by  
3 -S-, hydroxy-( $C_1$ - $C_6$  alkyl), carboxy-( $C_1$ - $C_6$  alkyl), amino-( $C_1$ - $C_6$  alkyl), guanidino-( $C_1$ - $C_6$   
4 alkyl), carbamoyl-( $C_1$ - $C_6$  alkyl), mercapto-( $C_1$ - $C_6$  alkyl), indolyl-( $C_1$ - $C_3$  alkyl), phenyl-( $C_1$ - $C_3$   
5 alkyl), hydroxyphenyl-( $C_1$ - $C_6$  alkyl), halophenyl-( $C_1$ - $C_6$  alkyl), imidazolyl-( $C_1$ - $C_6$  alkyl),  
6 phenyl, and sulfoximino-( $C_1$ - $C_6$  alkyl).
- 1                   **150.**    The method of claim **143** in which  $R^3$  is a member selected from the  
2 group consisting of  $C_1$ - $C_4$  alkyl, hydroxy -( $C_1$ - $C_2$  alkyl), carboxy-( $C_1$ - $C_2$  alkyl), amino-( $C_3$ - $C_5$   
3 alkyl), guanidino -( $C_2$ - $C_4$  alkyl), carbamoyl-( $C_1$ - $C_2$  alkyl), mercapto-( $C_1$ - $C_2$  alkyl),  
4 methylthio-( $C_1$ - $C_3$  alkyl), indolylmethyl, phenyl-( $C_1$ - $C_2$  alkyl), and hydroxyphenyl-( $C_1$ - $C_2$   
5 alkyl).
- 1                   **151.**    The method of claim **143** in which  $R^1$  is  $CH_2$ ,  $R^2$  is N, and  $R^3$  is a  
2 member selected from the group consisting of  $C_1$ - $C_4$  alkyl, hydroxy -( $C_1$ - $C_2$  alkyl), carboxy-  
3 ( $C_1$ - $C_2$  alkyl), amino-( $C_3$ - $C_5$  alkyl), guanidino -( $C_2$ - $C_4$  alkyl), carbamoyl-( $C_1$ - $C_2$  alkyl),  
4 mercapto-( $C_1$ - $C_2$  alkyl), methylthio-( $C_1$ - $C_3$  alkyl), indolylmethyl, phenyl-( $C_1$ - $C_2$  alkyl), and  
5 hydroxyphenyl-( $C_1$ - $C_2$  alkyl).
- 1                   **152.**    The method of claim **143** in which said peptide analog is a peptide in  
2 which two or more non-adjacent amino acids are replaced by azacyclohexenone groups of  
3 said formula.
- 1                   **153.**    The method of claim **143** in which said peptide analog is a peptide in  
2 which, in at least a portion thereof, every second amino acid is replaced by an  
3 azacyclohexenone group of said formula, and the number of said azacyclohexenone groups in  
4 said peptide analog is two or more.

1                   **154.**   The method of claim **143** in which the total number of amino acids and  
2 azacyclohexenone groups in said peptide analog is from 3 to 200.

1                   **155.**   The method of claim **143** in which the total number of amino acids and  
2 azacyclohexenone groups in said peptide analog is from 4 to 20.

1                   **156.**   A method for extracting a target peptide having a selected amino acid  
2 sequence from a mixture of peptides, said method comprising contacting said mixture with a  
3 capture peptide that is covalently bonded to a solid support and associates with said amino  
4 acid sequence in a  $\beta$ -sheet interaction, said capture peptide comprising amino acids and at  
5 least one azacyclohexenone group having the formula



6  
7 in which:

8                   R¹ is CH<sub>2</sub> or NH,

9                   R² is CH or N, and

10                  R³ is H or an amino acid side chain,

11 such that in at least one such azacyclohexenone group:

12                  when R¹ is CH<sub>2</sub> and R² is CH, R³ is an amino acid side chain, and

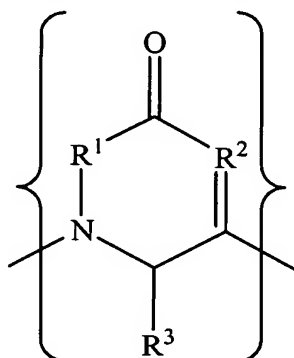
13                  when either R¹ is NH, or R² is N, or R¹ is NH and R² is N, R³ is H or an amino  
14                  acid side chain,

15 and when said peptide analog contains two or more azacyclohexenone groups of said  
16 formula, R¹, R², and R³ of any one azacyclohexenone group in said peptide analog are either  
17 the same as or different from R¹, R², and R³ of any other azacyclohexenone group in said  
18 peptide analog,

19 to achieve a  $\beta$ -sheet-like interaction between said target peptide and said capture analog.

1                   **157.**   A method for extracting a target peptide having a selected amino acid  
2 sequence from a mixture of peptides, said method comprising contacting said mixture with a

capture peptide that is covalently bonded to a solid support and associates with said amino acid sequence in a  $\beta$ -sheet interaction, said capture peptide comprising amino acids and at least one azacyclohexenone group having the formula



in which:

$R^1$  is  $\text{CH}_2$  or  $\text{NH}$ ,

$R^2$  is  $\text{CH}$  or  $\text{N}$ , and

when  $R^1$  is  $\text{CH}_2$  and  $R^2$  is  $\text{CH}$ ,  $R^3$  is an amino acid side chain, and

when either  $R^1$  is  $\text{NH}$ , or  $R^2$  is  $\text{N}$ , or  $R^1$  is  $\text{NH}$  and  $R^2$  is  $\text{N}$ ,  $R^3$  is  $\text{H}$  or an amino acid side chain,

and when said peptide analog contains two or more azacyclohexenone groups of said formula,  $R^1$ ,  $R^2$ , and  $R^3$  of any one azacyclohexenone group in said peptide analog are either the same as or different from  $R^1$ ,  $R^2$ , and  $R^3$  of any other azacyclohexenone group in said peptide analog,

to achieve a  $\beta$ -sheet-like interaction between said target peptide and said capture analog.

**158.** The method of claim 157 in which  $R^1$  is  $\text{CH}_2$  and  $R^2$  is  $\text{N}$ .

**159.** The method of claim 157 in which  $R^1$  is  $\text{NH}$  and  $R^2$  is  $\text{CH}$ .

**160.** The method of claim 157 in which  $R^1$  is  $\text{NH}$  and  $R^2$  is  $\text{N}$ .

**161.** The method of claim 157 in which  $R^1$  is  $\text{CH}_2$  and  $R^2$  is  $\text{CH}$ .

**162.** The method of claim 157 in which said azacyclohexenone group is an L-stereoisomer relative to  $R^3$  when  $R^3$  is an amino acid side chain.

**163.** The method of claim 157 in which  $R^3$  is a member selected from the group consisting of  $\text{C}_1$ - $\text{C}_6$  alkyl,  $\text{C}_1$ - $\text{C}_6$  alkyl interrupted by  $-\text{O}-$ ,  $\text{C}_1$ - $\text{C}_6$  alkyl interrupted by  $-\text{S}-$ , hydroxy- $(\text{C}_1$ - $\text{C}_6$  alkyl), carboxy- $(\text{C}_1$ - $\text{C}_6$  alkyl), amino- $(\text{C}_1$ - $\text{C}_6$  alkyl), guanidino- $(\text{C}_1$ - $\text{C}_6$

4 alkyl), carbamoyl-(C<sub>1</sub>-C<sub>6</sub> alkyl), mercapto-(C<sub>1</sub>-C<sub>6</sub> alkyl), indolyl-(C<sub>1</sub>-C<sub>3</sub> alkyl), phenyl-(C<sub>1</sub>-C<sub>3</sub>  
5 alkyl), hydroxyphenyl-(C<sub>1</sub>-C<sub>6</sub> alkyl), halophenyl-(C<sub>1</sub>-C<sub>6</sub> alkyl), imidazolyl-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
6 phenyl, and sulfoximino-(C<sub>1</sub>-C<sub>6</sub> alkyl).

1                   **164.** The method of claim 157 in which R<sup>3</sup> is a member selected from the  
2 group consisting of C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy-(C<sub>1</sub>-C<sub>2</sub> alkyl), carboxy-(C<sub>1</sub>-C<sub>2</sub> alkyl), amino-(C<sub>3</sub>-C<sub>5</sub>  
3 alkyl), guanidino-(C<sub>2</sub>-C<sub>4</sub> alkyl), carbamoyl-(C<sub>1</sub>-C<sub>2</sub> alkyl), mercapto-(C<sub>1</sub>-C<sub>2</sub> alkyl),  
4 methylthio-(C<sub>1</sub>-C<sub>3</sub> alkyl), indolylmethyl, phenyl-(C<sub>1</sub>-C<sub>2</sub> alkyl), and hydroxyphenyl-(C<sub>1</sub>-C<sub>2</sub>  
5 alkyl).

1                   **165.** The method of claim 157 in which R<sup>1</sup> is CH<sub>2</sub>, R<sup>2</sup> is N, and R<sup>3</sup> is a  
2 member selected from the group consisting of C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy-(C<sub>1</sub>-C<sub>2</sub> alkyl), carboxy-  
3 (C<sub>1</sub>-C<sub>2</sub> alkyl), amino-(C<sub>3</sub>-C<sub>5</sub> alkyl), guanidino-(C<sub>2</sub>-C<sub>4</sub> alkyl), carbamoyl-(C<sub>1</sub>-C<sub>2</sub> alkyl),  
4 mercapto-(C<sub>1</sub>-C<sub>2</sub> alkyl), methylthio-(C<sub>1</sub>-C<sub>3</sub> alkyl), indolylmethyl, phenyl-(C<sub>1</sub>-C<sub>2</sub> alkyl), and  
5 hydroxyphenyl-(C<sub>1</sub>-C<sub>2</sub> alkyl).

1                   **166.** The method of claim 157 in which said capture peptide is a peptide in  
2 which two or more non-adjacent amino acids are replaced by azacyclohexenone groups of  
3 said formula.

1                   **167.** The method of claim 157 in which said capture peptide is a peptide in  
2 which, in at least a portion thereof, every second amino acid is replaced by an  
3 azacyclohexenone group of said formula, and the number of said azacyclohexenone groups in  
4 said peptide analog is two or more.

1                   **168.** The method of claim 157 in which the total number of amino acids and  
2 azacyclohexenone groups in said capture peptide is from 3 to 200.

1                   **169.** The method of claim 157 in which the total number of amino acids and  
2 azacyclohexenone groups in said capture peptide is from 4 to 20.